Claims

1. A pharmaceutical preparation exhibiting excellent gastrointestinal absorbability comprising a compound recognized by a proton-coupled transporter and a pH-sensitive polymer,

the pH-sensitive polymer being used in an amount sufficient to impart the gastrointestinal tract a pH at which the proton-coupled transporter optimally functions for cellular uptake of the compound.

2. A pharmaceutical preparation according to Claim 1, wherein the proton-coupled transporter is an influx transporter expressed in a small-intestinal epithelial cell.

3. A pharmaceutical preparation according to Claim 2, wherein the proton-coupled transporter is one member selected from the group consisting of a peptide transporter, monocarboxylic acid transporter, and D-cycloserine-transporting amino acid transporter.

4. A pharmaceutical preparation according to Claim 3, wherein the proton-coupled transporter is a peptide transporter.

5. A pharmaceutical preparation according to Claim 4, wherein the compound recognized by the peptide transporter is at least one species selected from the group consisting of peptides, β-lactam antibiotics, angiotensin-converting enzyme inhibitors, antiviral agents, antitumor agents, and ω-amino carboxylic acids.

6. A pharmaceutical preparation according to Claim 3, wherein the proton-coupled transporter is a monocarboxylic acid transporter.

7. A pharmaceutical preparation according to Claim 6, wherein the compound recognized by the monocarboxylic acid transporter is at least one species selected from the group

consisting of lactic acid, pyruvic acid, acetic acid, propionic acid, butyric acid, glycolic acid, nicotinic acid, salicylic acid, benzoic acid, p-aminobenzoic acid, and foscarnet.

8. A pharmaceutical preparation according to Claim 3, wherein the proton-coupled transporter is an amino acid transporter transporting D-cycloserine.

9. A pharmaceutical preparation according to Claim 8, wherein the compound recognized by the amino acid transporter transporting D-cycloserine is at least one species selected from the group consisting of L-alanine, β-alanine, L-proline, and glycin.

10. A pharmaceutical preparation according to Claim 1, wherein the pH at which the proton-coupled transporter optimally functions for cellular uptake of the compound is determined by evaluating under various pH conditions the extent of cellular uptake of the compound using cells in which the proton-coupled transporter is expressed.

11. A pharmaceutical preparation according to Claim 1, wherein the pH at which the proton-coupled transporter optimally functions for cellular uptake of the compound is determined by measuring the extent of the compound migrated within the gastrointestinal tract using the *in situ* closed loop method conducted in the intestinal tract.

12. A pharmaceutical preparation according to Claim 1, wherein the pH-sensitive polymer is at least one species selected from the group consisting of dried methacrylic acid copolymer, methacrylic acid copolymer LD, methacrylic acid copolymer L, methacrylic acid copolymer S, polyacrylic acid, maleic acid/n-alkyl vinyl ether copolymer, hydroxypropylmethylcellulose acetate succinate, and hydroxypropylmethylcellulose phthalate.

13. A pharmaceutical preparation according to Claim 1, wherein the pH-sensitive polymer is at least one species selected from the group consisting of Eudragit L100-55, Eudragit 30D-55, Eudragit L100, Eudragit S100, Eudragit P-4135F, polyacrylic acid, maleic acid/n-alkyl vinyl ether copolymer, hydroxypropylmethylcellulose acetate succinate, and hydroxypropylmethylcellulose phthalate.

14. A pharmaceutical preparation according to any of Claims 1 to 13 that is used for oral administration.

15. A method for formulating a pharmaceutical preparation having excellent gastrointestinal absorbability comprising the steps of:

(1) determining a pH at which the proton-coupled transporter optimally transports a compound recognized by the proton-coupled transporter into a cell is; and

(2) adding to the compound a pH-sensitive polymer in an amount sufficient to impart the optimum pH for cellular uptake of the compound.

16. A pharmaceutical preparation formulated according to the method of Item 15.

17. A pharmaceutical preparation for enhancing gastrointestinal absorbability of a compound recognized by a proton-coupled transporter,

the pharmaceutical preparation comprising the compound and a pH-sensitive polymer in an amount sufficient for the gastrointestinal tract to acquire a pH at which the proton-coupled transporter optimally transports the compound into a cell.

18. A method for enhancing gastrointestinal absorbability of a compound recognized by a proton-coupled

transporter,

the method comprising conditioning the gastrointestinal tract to a pH at which the proton-coupled transporter optimally transports the compound into a cell.

19. A method for using a pH-sensitive polymer, to enhance gastrointestinal absorbability of a compound recognized by a proton-coupled transporter, in an amount sufficient to impart to the gastrointestinal tract a pH at which the proton-coupled transporter optimally transports the compound into a cell.

20. Use of a pH-sensitive polymer, to enhance gastrointestinal absorbability of a compound recognized by a proton-coupled transporter, in an amount sufficient to impart to the gastrointestinal tract a pH at which the proton-coupled transporter optimally transports the compound into a cell.

21. Use of a pH-sensitive polymer, for formulating a pharmaceutical preparation having an enhanced gastrointestinal absorbability of a compound recognized by a proton-coupled transporter, in an amount sufficient to impart to the gastrointestinal tract a pH at which the proton-coupled transporter optimally transports the compound into a cell.